



STIC Search Report

Biotech-Chem Library

STIC Database Tracking Number: 178489

TO: Rei-Tsang Shiao
Location: REM-5A10/5C18
Art Unit: 1626
Thursday, February 16, 2006

Case Serial Number: 10/757581

From: Alex Waclawiw
Location: Biotech-Chem Library
Rem 1A71
Phone: 272-2534

Alexandra.waclawiw@uspto.gov

Search Notes

Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name: Rupert (Kerry) Ship Examiner #: 79521 Date: 2/3/06
 Art Unit: 1626 Phone Number: 2-0707 Serial Number: PC/757 581
 Location (Bldg/Room#): REM (Mailbox #): 5414 Results Format Preferred (circle): PAPER DISK

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: Substituted phenyl 2-substituted morpholine

Inventors (please provide full names): Gosch et al

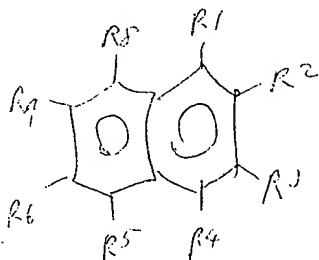
Earliest Priority Date: _____

Search Topic:

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

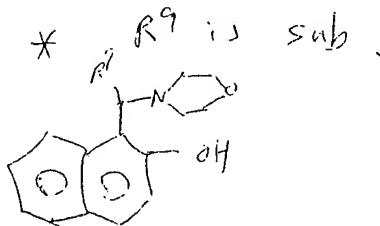
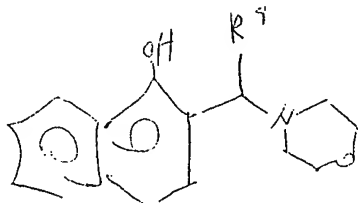
I. Search comp I (see claim 14) & methods of use



* R¹ R² are sub

* R¹ or R² can be a C6H₅ or sub to heterocycle, or heterocycle, i.e. morpholine.

II Search comp II, III (see ex. 18)



* R⁹ is sub

STAFF USE ONLY

Searcher: John J. Conradi
 Searcher Phone #: Alexandra Wiedmann
 Searcher Location: Technical Services

Date Searcher Picked Up: 2-16

Date Completed: 2-16

Searcher Prep & Review Time: 23

Online Time: 42

Type of Search

____ NA Sequence (#)

____ AA Sequence (#)

(3) Structure (#)

____ Bibliographic

____ Litigation

____ Fulltext

____ Other

Vendors and cost where applicable

363 STN _____ Dialog

____ Questel/Orbit _____ Lexis/Nexis

____ Westlaw _____ WWW/Internet

____ In-house sequence systems

____ Commercial _____ Oligomer _____ Score/Length

____ Interference _____ SPDI _____ Encode/Transl

____ Other (specify)

Page 23

12

=> d his ful

(FILE 'REGISTRY' ENTERED AT 13:34:18 ON 16 FEB 2006)

DEL HIS Y
ACT ROBERTCL147/A

L1 STR
L2 (312)SEA SSS FUL L1
L3 STR
L4 230 SEA SUB=L2 SSS FUL L3

ACT ROBERTEX1718/A

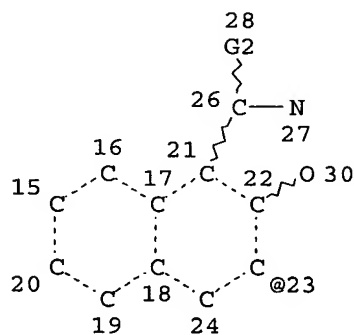
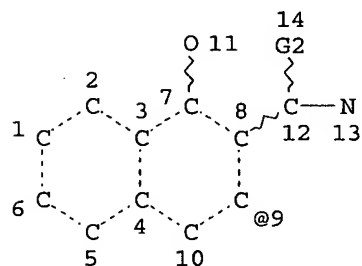
L5 STR
L6 (312)SEA SSS FUL L5
L7 STR
L8 (230)SEA SUB=L6 SSS FUL L7
L9 STR
L10 38 SEA SUB=L8 SSS FUL L9

FILE 'CAPLUS' ENTERED AT 13:34:51 ON 16 FEB 2006

L11 63 SEA ABB=ON PLU=ON L4
L12 16 SEA ABB=ON PLU=ON L10
D SCAN TI

=> d que sta 14

L1 STR

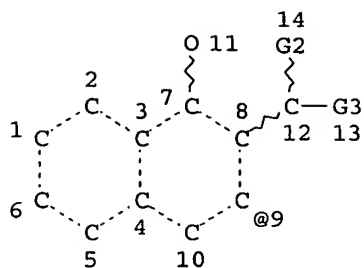


G1 29

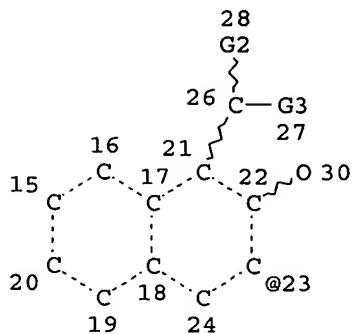
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NSPEC IS RC AT 27
CONNECT IS E3 RC AT 13
CONNECT IS E3 RC AT 27
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 29

STEREO ATTRIBUTES: NONE
L2 (312)SEA FILE=REGISTRY SSS FUL L1
L3 STR



G1 29



Hy @34

Ak~N~Ak
35 @36 37

Cb~N~Cb
38 @39 40

Cb~N~Ak
41 @42 43

VAR G1=9/23
VAR G2=CY/AK
VAR G3=34/36/39/42
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
GGCAT IS MCY SAT AT 34
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS E1 N X1 O AT 34

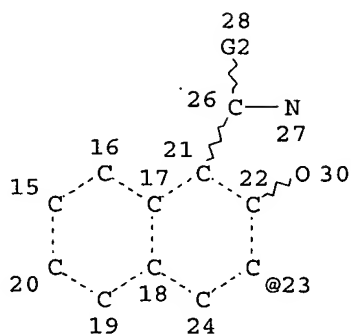
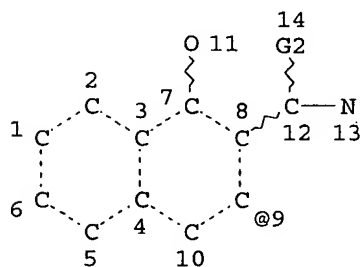
GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 39

STEREO ATTRIBUTES: NONE
L4 230 SEA FILE=REGISTRY SUB=L2 SSS FUL L3

100.0% PROCESSED 312 ITERATIONS
SEARCH TIME: 00.00.01

230 ANSWERS

=> d que sta l10
L5 STR



G1 29

VAR G1=9/23
VAR G2=CY/AK
NODE ATTRIBUTES:
NSPEC IS RC AT 13
NSPEC IS RC AT 27

CONNECT IS E3 RC AT 13
 CONNECT IS E3 RC AT 27
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

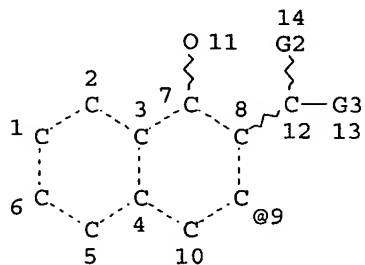
RSPEC I

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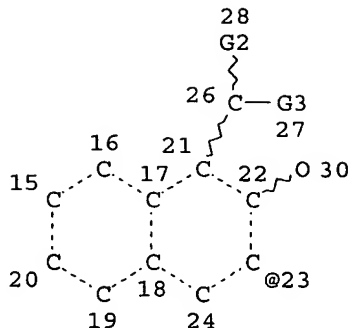
STEREO ATTRIBUTES: NONE

L6 (312)SEA FILE=REGISTRY SSS FUL L5

L7 STR



G1 29



Hy @34

Ak~N~Ak
 35 @36 37

Cb~N~Cb
 38 @39 40

Cb~N~Ak
 41 @42 43

VAR G1=9/23

VAR G2=CY/AK

VAR G3=34/36/39/42

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

GGCAT IS MCY SAT AT 34

DEFAULT ECLEVEL IS LIMITED

ECOUNT IS E1 N X1 O AT 34

GRAPH ATTRIBUTES:

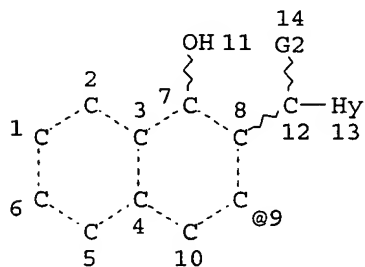
RSPEC I

NUMBER OF NODES IS 39

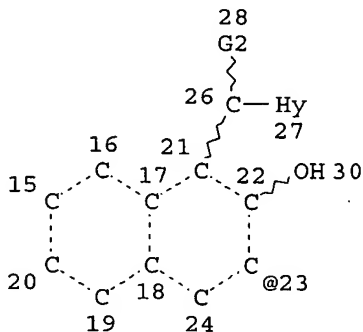
STEREO ATTRIBUTES: NONE

L8 (230)SEA FILE=REGISTRY SUB=L6 SSS FUL L7

L9 STR



G1 29



VAR G1=9/23
VAR G2=CY/AK
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
GGCAT IS MCY SAT AT 13
GGCAT IS MCY SAT AT 27
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS E1 N E1 O AT 13
ECOUNT IS E1 N E1 O AT 27

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 29

STEREO ATTRIBUTES: NONE
L10 38 SEA FILE=REGISTRY SUB=L8 SSS FUL L9

100.0% PROCESSED 230 ITERATIONS 38 ANSWERS
SEARCH TIME: 00.00.01

=> □

=> fil reg
FILE 'REGISTRY' ENTERED AT 13:37:37 ON 16 FEB 2006
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 14 FEB 2006 HIGHEST RN 874270-88-9
DICTIONARY FILE UPDATES: 14 FEB 2006 HIGHEST RN 874270-88-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

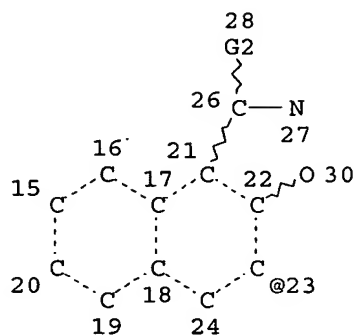
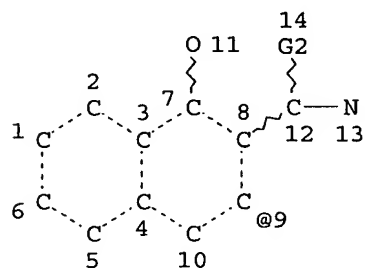
Structure search iteration limits have been increased. See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=> d que stat 14

L1 STR



G1 29

VAR G1=9/23

VAR G2=CY/AK

NODE ATTRIBUTES:

NSPEC IS RC AT 13

NSPEC IS RC AT 27

CONNECT IS E3 RC AT 13

CONNECT IS E3 RC AT 27

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

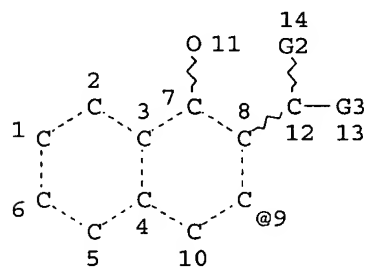
RSPEC I

NUMBER OF NODES IS 29

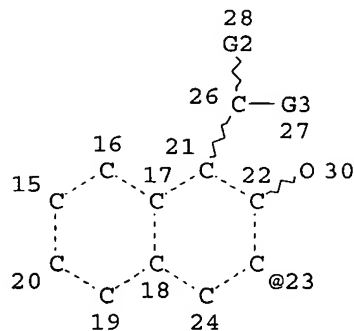
STEREO ATTRIBUTES: NONE

L2 (312)SEA FILE=REGISTRY SSS FUL L1

L3 STR



G1 29



Hy @34

Ak~N~Ak
35 @36 37

Cb~N~Cb
38 @39 40

Cb~N~Ak
41 @42 43

VAR G1=9/23

VAR G2=CY/AK

VAR G3=34/36/39/42

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

GGCAT IS MCY SAT AT 34

DEFAULT ECLEVEL IS LIMITED

ECOUNT IS E1 N X1 O AT 34

GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 39

STEREO ATTRIBUTES: NONE

L4 230 SEA FILE=REGISTRY SUB=L2 SSS FUL L3

100.0% PROCESSED 312 ITERATIONS

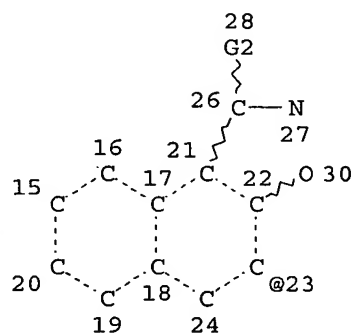
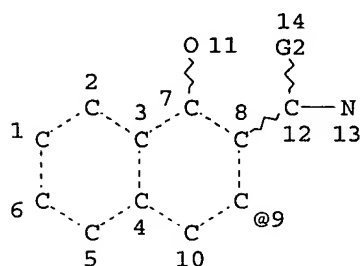
SEARCH TIME: 00.00.01

230 ANSWERS

L>claim 147

=> d que stat 110

L5 STR



VAR G1=9/23

VAR G2=CY/AK

NODE ATTRIBUTES:

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CONNECT IS E3 RC AT 13

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DEFAULT MLEVEL IS ATOM

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GRAPH ATTRIBUTES:

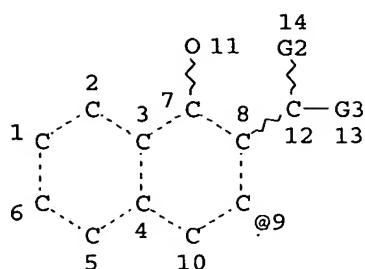
RSPEC I

NUMBER OF NODES IS 29

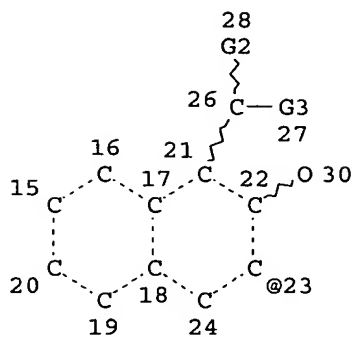
STEREO ATTRIBUTES: NONE

L6 (312)SEA FILE=REGISTRY SSS FUL L5

L7 STR



G1 29



Hy @34

Ak~N~Ak
35 @36 37

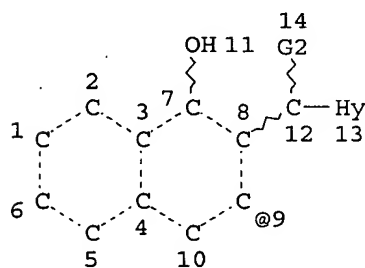
Cb~N~Cb
38 @39 40

Cb~N~Ak
41 @42 43

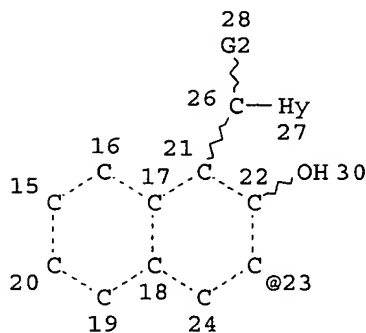
VAR G1=9/23
VAR G2=CY/AK
VAR G3=34/36/39/42
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
GGCAT IS MCY SAT AT 34
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS E1 N X1 O AT 34

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 39

STEREO ATTRIBUTES: NONE
L8 (230)SEA FILE=REGISTRY SUB=L6 SSS FUL L7
L9 STR



G1 29



VAR G1=9/23
VAR G2=CY/AK
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
GGCAT IS MCY SAT AT 13
GGCAT IS MCY SAT AT 27
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS E1 N E1 O AT 13
ECOUNT IS E1 N E1 O AT 27

GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 29

STEREO ATTRIBUTES: NONE

L10 38 SEA FILE=REGISTRY SUB=L8 SSS FUL L9

100.0% PROCESSED 230 ITERATIONS

SEARCH TIME: 00.00.01

38 ANSWERS

↳ example 17 & 18

=> fil caplus

FILE 'CAPLUS' ENTERED AT 13:37:54 ON 16 FEB 2006

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FILE COVERS 1907 - 16 Feb 2006 VOL 144 ISS 8

FILE LAST UPDATED: 15 Feb 2006 (20060215/ED)

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<http://www.cas.org/infopolicy.html>

'OBI' IS DEFAULT SEARCH FIELD FOR 'CAPLUS' FILE

=> d que nos l12

L5 STR

L6 (312)SEA FILE=REGISTRY SSS FUL L5

L7 STR

L8 (230)SEA FILE=REGISTRY SUB=L6 SSS FUL L7

L9 STR

L10 38 SEA FILE=REGISTRY SUB=L8 SSS FUL L9

L12 16 SEA FILE=CAPLUS ABB=ON PLU=ON L10

=> d .ca hitstr l12 1-16

L12 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:19736 CAPLUS

DOCUMENT NUMBER: 136:200000

TITLE: A Modified Mannich-Type Reaction Catalyzed by VO(acac)₂

AUTHOR(S): Hwang, Der-Ren; Uang, Biing-Jiun

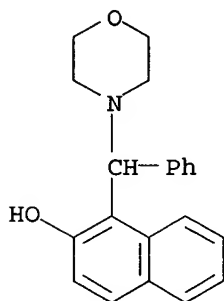
CORPORATE SOURCE: Department of Chemistry, National Tsing Hua University, Hsinchu, 300, Taiwan

SOURCE: Organic Letters (2002), 4(3), 463-466

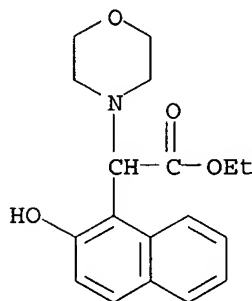
CODEN: ORLEF7; ISSN: 1523-7060

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:200000
ED Entered STN: 09 Jan 2002
AB A facile VO(acac)₂-catalyzed in situ generation of iminium ions from amine N-oxides and their participation in a modified Mannich-type reaction is described.
CC 25-24 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
IT 120-65-0P 2121-66-6P 4438-01-1P 4727-98-4P 5419-02-3P
14074-21-6P 19045-23-9P 24685-08-3P 27438-39-7P
60460-70-0P 63221-02-3P 87177-56-8P 122591-11-1P
230302-55-3P 401632-93-7P 401632-97-1P 401632-98-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(modified Mannich-type reaction catalyzed by VO(acac)₂)
IT 24685-08-3P 230302-55-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(modified Mannich-type reaction catalyzed by VO(acac)₂)
RN 24685-08-3 CAPLUS
CN 2-Naphthalenol, 1-(4-morpholinylphenylmethyl)- (9CI) (CA INDEX NAME)



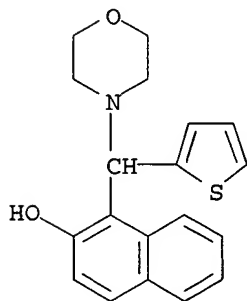
RN 230302-55-3 CAPLUS
CN 4-Morpholineacetic acid, α -(2-hydroxy-1-naphthalenyl)-, ethyl ester
(9CI) (CA INDEX NAME)



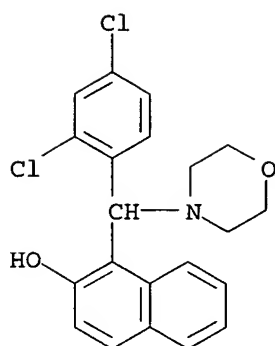
REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:779971 CAPLUS
DOCUMENT NUMBER: 136:216298
TITLE: Lithium perchlorate assisted one-pot three-component aminoalkylation of electron-rich aromatic compounds

AUTHOR(S): Saidi, Mohammad R.; Azizi, Najmoddin; Naimi-Jamal, M. Reza
 CORPORATE SOURCE: Department of Chemistry, Sharif University of Technology, Tehran, Iran
 SOURCE: Tetrahedron Letters (2001), 42(45), 8111-8113
 CODEN: TELEAY; ISSN: 0040-4039
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 136:216298
 ED Entered STN: 26 Oct 2001
 AB A one-pot, three-component, Mannich reaction of electron-rich aromatic compds. with in situ prepared iminium salts in 5 M ethereal LiClO₄ gives good yields of aminoalkylated products at room temperature
 CC 21-2 (General Organic Chemistry)
 IT 6278-04-2P 24685-04-9P 39487-54-2P 59836-46-3P
 180463-79-0P 402618-23-9P 402618-24-0P 402618-25-1P 402618-26-2P
 402618-27-3P 402618-28-4P 402618-29-5P 402618-30-8P
 402618-31-9P 402618-32-0P 402618-33-1P 402618-34-2P
 402618-35-3P 402618-36-4P 402618-37-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (three-component aminoalkylation of aldehydes and trimethylsilyldialkylamines and hydroxyarenes using lithium perchlorate catalyst)
 IT 24685-04-9P 402618-27-3P 402618-31-9P
 402618-33-1P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (three-component aminoalkylation of aldehydes and trimethylsilyldialkylamines and hydroxyarenes using lithium perchlorate catalyst)
 RN 24685-04-9 CAPLUS
 CN 2-Naphthalenol, 1-(4-morpholinyl-2-thienylmethyl)- (9CI) (CA INDEX NAME)

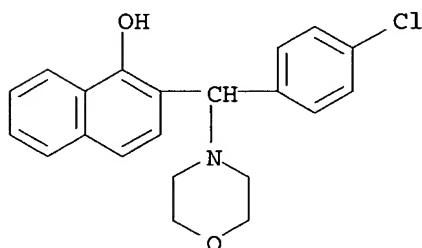


RN 402618-27-3 CAPLUS
 CN 2-Naphthalenol, 1-[(2,4-dichlorophenyl)-4-morpholinylmethyl]- (9CI) (CA INDEX NAME)



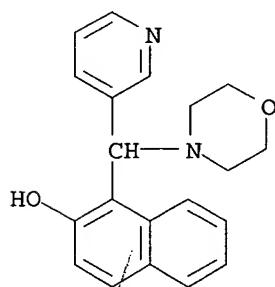
RN 402618-31-9 CAPLUS

CN 1-Naphthalenol, 2-[(4-chlorophenyl)-4-morpholinylmethyl]- (9CI) (CA INDEX NAME)



RN 402618-33-1 CAPLUS

CN 2-Naphthalenol, 1-(4-morpholinyl-3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

LI2 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:660405 CAPLUS

DOCUMENT NUMBER: 135:371592

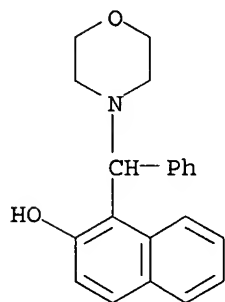
TITLE: Solvent-free aminoalkylation of phenols and indoles assisted by microwave irradiation

AUTHOR(S): Sharifi, Ali; Mirzaei, Mojtaba; Naimi-Jamal, M. Reza

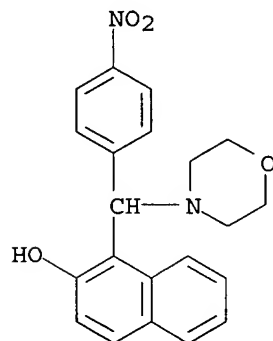
CORPORATE SOURCE: Chemistry and Chemical Engineering Research Center of Iran, Tehran, Iran

SOURCE: Monatshefte fuer Chemie (2001), 132(7), 875-880

CODEN: MOCMB7; ISSN: 0026-9247
PUBLISHER: Springer-Verlag Wien
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:371592
ED Entered STN: 10 Sep 2001
AB Phenols and indoles were aminoalkylated in a solvent-free and environmentally friendly Mannich reaction on acidic alumina assisted by microwave irradiation in good overall yields.
CC 27-11 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 25
IT 6278-04-2P 16087-95-9P **24685-08-3P** 46397-90-4P 59614-91-4P
83236-39-9P 180597-78-8P 180597-81-3P **244184-48-3P**
374690-61-6P 439927-23-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(solvent-free aminoalkylation of phenols and indoles assisted by microwave irradiation)
IT **24685-08-3P 244184-48-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(solvent-free aminoalkylation of phenols and indoles assisted by microwave irradiation)
RN 24685-08-3 CAPLUS
CN 2-Naphthalenol, 1-(4-morpholinylphenylmethyl)- (9CI) (CA INDEX NAME)



RN 244184-48-3 CAPLUS
CN 2-Naphthalenol, 1-[4-morpholinyl(4-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:489366 CAPLUS

DOCUMENT NUMBER: 135:92541

TITLE: Preparation of a substance library from iminium salts and naphthalene, pyrrole, and/or indole compounds and use of the library in discovery of active compounds.

INVENTOR(S): Gerlach, Matthias; Maul, Corinna

PATENT ASSIGNEE(S): Gruenenthal G.m.b.H., Germany

SOURCE: PCT Int. Appl., 80 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| WO 2001047882 | A2 | 20010705 | WO 2000-EP12973 | 20001220 |
| WO 2001047882 | A3 | 20020530 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, <u>US</u> , VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG DE <u>19963177</u> A1 20010712 DE 1999-19963177 19991227 DE 1999-19963177 A 19991227 | | | | |

PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 135:92541

ED Entered STN: 06 Jul 2001

AB A substance library was prepared by (1) reaction of aldehydes with secondary amines in the presence of base to give aminsals, (2) treatment of the aminsals with acid chlorides to give iminium salts, (3) reaction of the iminium salts with naphthalene, pyrrole, or indole compds. Thus, reaction of 1H-indole with benzylidenedimethylammonium chloride gave [(1H-indol-3-yl)phenylmethyl]dimethylamine. The latter gave 41% inhibition of phenylquinone-induced writhing in mice.

IC ICM C07D209-00

CC 27-11 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 1, 21, 63

IT 6278-04-2P 24685-08-3P 59836-46-3P 76364-51-7P

180463-79-0P 180463-80-3P 180463-84-7P 347860-18-8P 347860-19-9P

347860-21-3P 347860-23-5P 347896-97-3P 347896-98-4P 348136-83-4P

348137-04-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of a substance library from iminium salts and naphthalene, pyrrole, and/or indole compds. and use of the library in discovery of active compds)

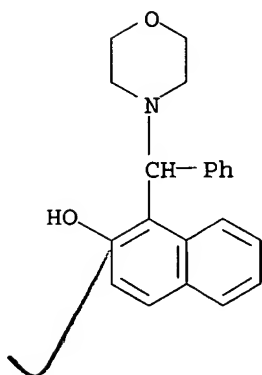
IT 24685-08-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of a substance library from iminium salts and naphthalene, pyrrole, and/or indole compds. and use of the library in discovery of active compds)

RN 24685-08-3 CAPLUS

CN 2-Naphthalenol, 1-(4-morpholinylphenylmethyl)- (9CI) (CA INDEX NAME)



L12 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:489352 CAPLUS

DOCUMENT NUMBER: 135:76698

TITLE: Preparation of α -aminobenzyl naphthols and analogs as analgesics

INVENTOR(S): Gerlach, Matthias; Maul, Corinna

PATENT ASSIGNEE(S): Gruenenthal G.m.b.H., Germany

SOURCE: PCT Int. Appl., 94 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

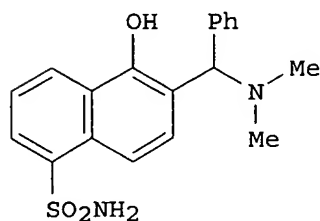
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|----------|
| WO 2001047866 | A1 | 20010705 | WO 2000-EP12972 | 20001220 |
| WO 2001047866 | C2 | 20021107 | | |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| DE 19963179 | A1 | 20010712 | DE 1999-19963179 | 19991227 |
| CA 2394098 | AA | 20010705 | CA 2000-2394098 | 20001220 |
| BR 2000016781 | A | 20020827 | BR 2000-16781 | 20001220 |
| EP 1246790 | A1 | 20021009 | EP 2000-990799 | 20001220 |
| EP 1246790 | B1 | 20050504 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2003519115 | T2 | 20030617 | JP 2001-549340 | 20001220 |
| NZ 519976 | A | 20040430 | NZ 2000-519976 | 20001220 |
| AU 775709 | B2 | 20040812 | AU 2001-30147 | 20001220 |
| AT 294773 | E | 20050515 | AT 2000-990799 | 20001220 |
| PT 1246790 | T | 20050930 | PT 2000-990799 | 20001220 |
| ES 2241688 | T3 | 20051101 | ES 2000-990799 | 20001220 |
| ZA 2002004289 | A | 20040210 | ZA 2002-4289 | 20020529 |
| NO 2002002896 | A | 20020617 | NO 2002-2896 | 20020617 |
| US 2004044061 | A1 | 20040304 | US 2002-149449 | 20020627 |
| US 6774136 | B2 | 20040810 | | |

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| | | | | |
|-----------------------------------|----|----------|------------------|-------------|
| HK 1051845 | A1 | 20060113 | HK 2003-102524 | 20030409 |
| US 2004147570 | A1 | 20040729 | US 2004-757581 | 20040115 |
| PRIORITY APPLN. INFO.: | | | DE 1999-19963179 | A 19991227 |
| | | | WO 2000-EP12972 | W 20001220 |
| | | | US 2002-149449 | A3 20020627 |
| OTHER SOURCE(S): MARPAT 135:76698 | | | | |
| ED Entered STN: 06 Jul 2001 | | | | |
| GI | | | | |



II

AB R12OZCHR9NR10R11 [I; R9 = alkyl, (hetero)aryl, etc.; R10,R11 = (un)substituted alk(en)yl, -Ph, -CH2Ph, etc.; R12 = (un)substituted 1,2- or 2,1-naphthylene] were prepared. Thus, PhCHO was condensed with Me2NH and the product used to alkylate 5-hydroxy-1-naphthalenesulfonamide to give title compound II. Data for biol. activity of I were given.

IC ICM C07C215-50
ICS C07C217-58; A61K031-136; A61K031-16; A61K031-165; A61K031-195;
C07D295-08; C07C219-28; C07C225-16; C07C229-38; C07C237-48;
C07C243-38; C07C311-37; C07D295-12; C07D295-14; A61P025-04;
A61P029-00

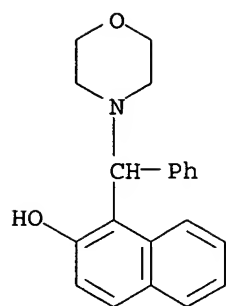
CC 25-24 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1

IT 6278-04-2P **24685-08-3P** 347859-82-9P 347859-83-0P
347859-84-1P 347859-85-2P 347859-86-3P 347859-87-4P 347859-88-5P
347859-89-6P 347859-90-9P 347859-91-0P 347859-92-1P 347859-93-2P
347859-94-3P 347859-95-4P 347859-96-5P 347859-97-6P 347859-98-7P
347859-99-8P 347860-00-8P 347860-01-9P **347860-02-0P**
347860-03-1P **347860-04-2P** 347860-05-3P 347860-06-4P
347860-07-5P 347860-08-6P **347860-09-7P** **347860-10-0P**
347860-11-1P **347860-12-2P** 347860-13-3P 347860-14-4P
347860-15-5P 347860-16-6P 347860-17-7P 347860-18-8P 347860-19-9P
347860-21-3P 347860-23-5P 347860-25-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of α -aminobenzyl-naphthols and analogs as analgesics)

IT **24685-08-3P** **347859-99-8P** **347860-02-0P**
347860-04-2P **347860-09-7P** **347860-10-0P**
347860-11-1P **347860-12-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of α -aminobenzyl-naphthols and analogs as analgesics)

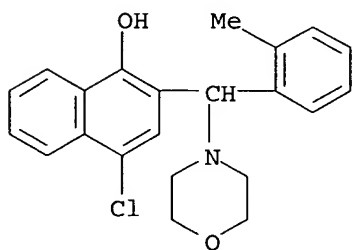
RN 24685-08-3 CAPLUS

CN 2-Naphthalenol, 1-(4-morpholinylphenylmethyl)- (9CI) (CA INDEX NAME)



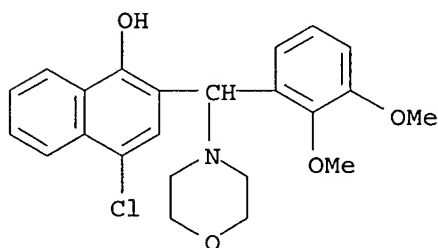
RN 347859-99-8 CAPLUS

CN 1-Naphthalenol, 4-chloro-2-[(2-methylphenyl)-4-morpholinylmethyl]- (9CI)
(CA INDEX NAME)



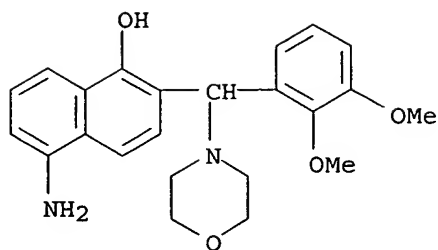
RN 347860-02-0 CAPLUS

CN 1-Naphthalenol, 4-chloro-2-[(2,3-dimethoxyphenyl)-4-morpholinylmethyl]-
(9CI) (CA INDEX NAME)



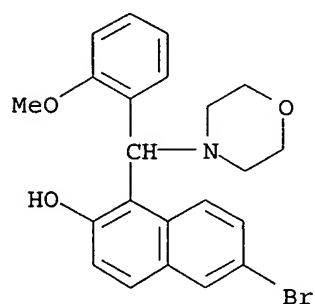
RN 347860-04-2 CAPLUS

CN 1-Naphthalenol, 5-amino-2-[(2,3-dimethoxyphenyl)-4-morpholinylmethyl]-
(9CI) (CA INDEX NAME)



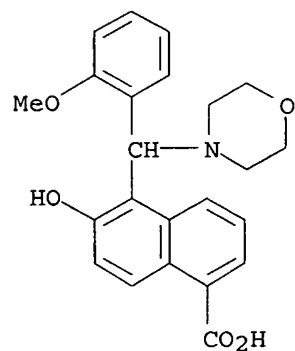
RN 347860-09-7 CAPLUS

CN 2-Naphthalenol, 6-bromo-1-[(2-methoxyphenyl)-4-morpholinylmethyl]- (9CI)
(CA INDEX NAME)



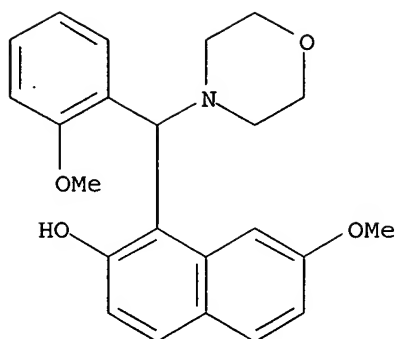
RN 347860-10-0 CAPLUS

CN 1-Naphthalenecarboxylic acid, 6-hydroxy-5-[(2-methoxyphenyl)-4-morpholinylmethyl]- (9CI) (CA INDEX NAME)



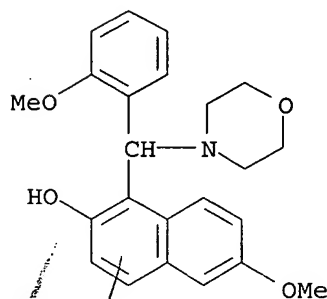
RN 347860-11-1 CAPLUS

CN 2-Naphthalenol, 7-methoxy-1-[(2-methoxyphenyl)-4-morpholinylmethyl]- (9CI)
(CA INDEX NAME)



RN 347860-12-2 CAPLUS

CN 2-Naphthalenol, 6-methoxy-1-[(2-methoxyphenyl)-4-morpholinylmethyl]- (9CI)
(CA INDEX NAME)



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:436700 CAPLUS

DOCUMENT NUMBER: 131:243022

TITLE: Amino(hetero)arylmethylation of phenols with
N-[α-amino(hetero)arylmethyl]benzotriazoles

AUTHOR(S): Katritzky, Alan R.; Abdel-Fattah, Ashraf A. A.;
Tymoshenko, Dmytro O.; Belyakov, Sergei A.; Ghiviriga,
Ion; Steel, Peter J.

CORPORATE SOURCE: Center for Heterocyclic Compounds Department of
Chemistry, University of Florida, Gainesville, FL,
32611-7200, USA

SOURCE: Journal of Organic Chemistry (1999), 64(16), 6071-6075
CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

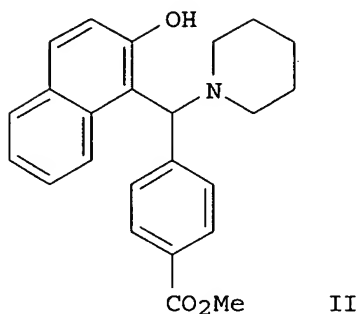
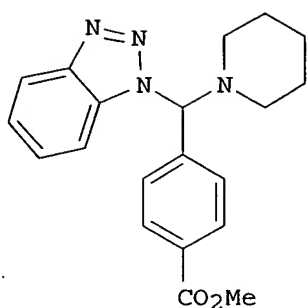
LANGUAGE: English

OTHER SOURCE(S): CASREACT 131:243022

ED Entered STN: 16 Jul 1999

GI

00 741, 56



AB N-[α -Amino(hetero)arylmethyl]benzotriazoles derived from a variety of (hetero)aromatic aldehydes were reacted with sodium phenolates to afford amino(hetero)arylmethylated phenols in high yields. Thus, the benzotriazolylbenzoate I was prepared by condensation of benzotriazole, 4-(HCO)C₆H₄CO₂Me, and piperidine; reaction of I with β -naphthol sodium salt in refluxing toluene containing a phase-transfer catalyst, dibenzo-18-crown-6, gave 82% [(methoxycarbonyl)benzyl]naphthol II.

CC 25-10 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)

IT 6278-04-2P **24685-08-3P** 55075-21-3P 244184-35-8P
 244184-36-9P 244184-37-0P 244184-38-1P 244184-39-2P 244184-40-5P
 244184-41-6P 244184-42-7P 244184-43-8P 244184-44-9P 244184-45-0P
 244184-46-1P 244184-47-2P **244184-48-3P** 244184-49-4P
 244184-50-7P 244184-51-8P 244184-52-9P 244184-53-0P

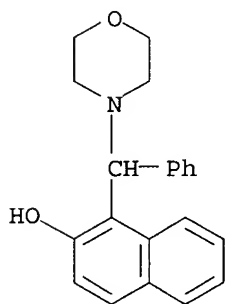
RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of (aminobenzyl)phenols and (aminoheterarylmethyl)phenols by condensation of phenols with (aminobenzyl)benzotriazoles and (aminoheterarylmethyl)benzotriazoles)

IT **24685-08-3P 244184-48-3P**

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of (aminobenzyl)phenols and (aminoheterarylmethyl)phenols by condensation of phenols with (aminobenzyl)benzotriazoles and (aminoheterarylmethyl)benzotriazoles)

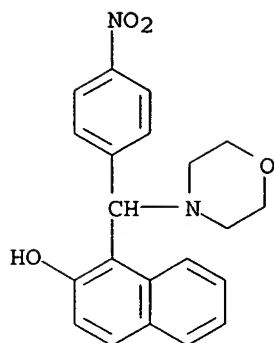
RN 24685-08-3 CAPLUS

CN 2-Naphthalenol, 1-(4-morpholinylphenylmethyl)- (9CI) (CA INDEX NAME)



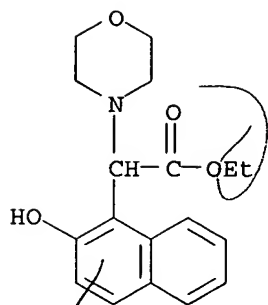
RN 244184-48-3 CAPLUS

CN 2-Naphthalenol, 1-[4-morpholinyl(4-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

✓
 112 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1999:376721 CAPLUS
 DOCUMENT NUMBER: 131:88163
 TITLE: Efficient synthesis of racemic α -aryl
 α -amino acid esters via aminoalkylation with in
 situ generated glycine cation equivalents
 AUTHOR(S): Grumbach, Hans-Joachim; Merla, Beatrix; Risch,
 Nikolaus
 CORPORATE SOURCE: Fachbereich Chemie Chemietechnik, Univ.-GH Paderborn,
 Paderborn, D-33098, Germany
 SOURCE: Synthesis (1999), (6), 1027-1033
 CODEN: SYNTBF; ISSN: 0039-7881
 PUBLISHER: Georg Thieme Verlag
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 131:88163
 ED Entered STN: 17 Jun 1999
 AB Iminium salts generated in situ from glyoxylate, secondary amines, and
 1-H-benzotriazole are excellent reagents for the regioselective
 mono-aminoalkylation of indoles, phenols, furans, and pyrroles. This
 method provides a simple and straightforward 1-pot reaction sequence to a
 variety of α -aryl α -amino acid esters in high yields.
 CC 34-2 (Amino Acids, Peptides, and Proteins)
 IT 230302-46-2P 230302-47-3P 230302-48-4P 230302-49-5P 230302-50-8P
 230302-51-9P 230302-52-0P 230302-53-1P 230302-54-2P
 230302-55-3P 230302-56-4P 230302-57-5P 230302-58-6P
 230302-59-7P 230302-60-0P 230302-61-1P 230302-64-4P 230302-65-5P
 230302-66-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of aryl α -amino acid esters via aminoalkylation with
 glycine cation equivalent)
 IT 230302-55-3P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of aryl α -amino acid esters via aminoalkylation with
 glycine cation equivalent)
 RN 230302-55-3 CAPLUS
 CN 4-Morpholineacetic acid, α -(2-hydroxy-1-naphthalenyl)-, ethyl ester
 (9CI) (CA INDEX NAME)



ethyl 3-(4-morpholinyl)prop-1-en-1-yl

REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:698228 CAPLUS

DOCUMENT NUMBER: 128:13099

TITLE: A tandem aminoalkylation of aldehydes; application to the synthesis of substituted phenols and naphthols

AUTHOR(S): Saidi, Mohammad; Khalaji, Hamid R.

CORPORATE SOURCE: Dep. Chem., Sharif Univ. Technol., Tehran, 11365-9516, Iran

SOURCE: Journal of Chemical Research, Synopses (1997), (9), 340-341

CODEN: JRPSDC; ISSN: 0308-2342

PUBLISHER: Royal Society of Chemistry

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 128:13099

ED Entered STN: 06 Nov 1997

AB Treatment of a protected salicylaldehyde and 2-hydroxy-1-naphthaldehyde with (trimethylsilyl)dialkylamines and various nucleophiles in a 5 M di-Et ether solution of lithium perchlorate gives a variety of N,N-dialkylaminophenols and 1-(N,N-dialkylamino)-2-naphthols in short reaction times and in good yields.

CC 25-10 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)

IT 198986-90-2P 198986-91-3P 198986-92-4P 198986-93-5P 198986-94-6P

198986-95-7P 198986-96-8P 198986-97-9P 198986-98-0P 198986-99-1P

198987-00-7P 198987-01-8P 198987-03-0P 198987-05-2P

198987-07-4P 198987-08-5P 198987-09-6P 198987-10-9P

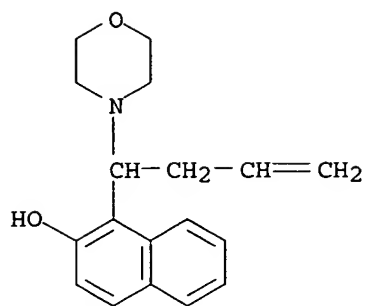
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

IT 198987-05-2P 198987-08-5P 198987-10-9P

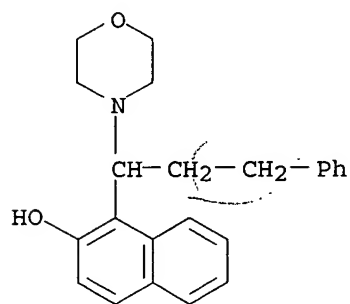
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 198987-05-2 CAPLUS

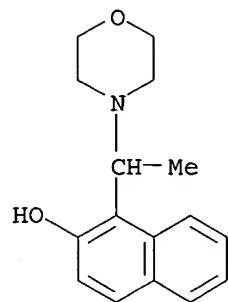
CN 2-Naphthalenol, 1-[1-(4-morpholinyl)-3-butenyl]- (9CI) (CA INDEX NAME)



✓ RN 198987-08-5 CAPLUS
CN 2-Naphthalenol, 1-[1-(4-morpholinyl)-3-phenylpropyl]- (9CI) (CA INDEX NAME)



✓ RN 198987-10-9 CAPLUS
CN 2-Naphthalenol, 1-[1-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1993:254274 CAPLUS

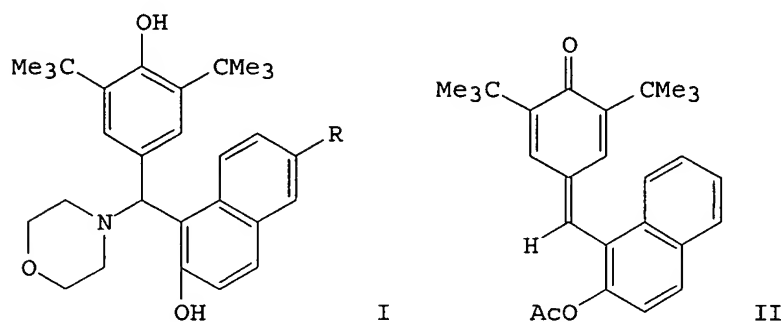
DOCUMENT NUMBER: 118:254274

TITLE: Photo- and thermochromic Mannich bases. 1. Mannich bases from 3,5-di-tert-butyl-4-hydroxybenzaldehyde and 2-naphthols

AUTHOR(S): Komissarov, V. N.; Ukhin, L. Yu.; Kharlanov, V. A.; Lokshin, V. A.; Bulgarevich, E. Yu.; Minkin, V. I.;

Robert Shiao 10/757,581

Filipenko, O. S.; Novozhilova, M. A.; Aldoshin, S. M.;
Atovmyan, L. O.
CORPORATE SOURCE: Inst. Chem. Phys., Chernogolovka, 142432, Russia
SOURCE: Izvestiya Akademi Nauk, Seriya Khimicheskaya (1992),
(10), 2389-99
CODEN: IASKEA; ISSN: 1026-3500
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 118:254274
ED Entered STN: 26 Jun 1993
GI



AB Mannich bases I (R = H, Br) synthesized from 3,5-di-tert-butyl-4-hydroxybenzaldehyde and 2-naphthols are photo- and thermochromic in solns. The study of acetyl derivative (II) of methylenequinone modeling the product of photo- and thermochromic conversions proved that the color changes of solns. of Mannich bases is due to the reversible dissociation into colored methylenequinones and morpholine. Mol. structure and dissociation mechanism are discussed in terms of x-ray crystallog. anal. of I (R = H).

CC 22-9 (Physical Organic Chemistry)
Section cross-reference(s): 74, 75

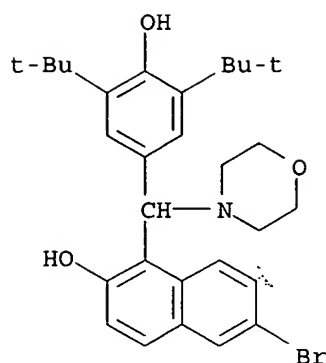
IT 147711-20-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and photo- and thermochromism of)

IT 147711-19-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, photo- and thermochromism, and crystal and mol. structure of)

IT 147711-20-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and photo- and thermochromism of)

RN 147711-20-4 CAPLUS

CN 2-Naphthalenol, 1-[[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-4-morpholinylmethyl]-6-bromo- (9CI) (CA INDEX NAME)

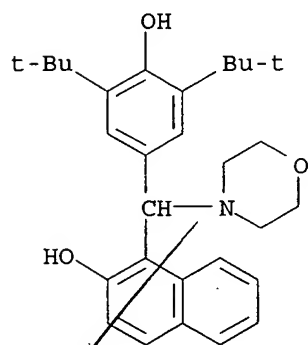


IT 147711-19-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, photo- and thermochromism, and crystal and mol. structure of)

RN 147711-19-1 CAPLUS

CN 2-Naphthalenol, 1-[[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-4-morpholinylmethyl]- (9CI) (CA INDEX NAME)



CA2 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1974:108438 CAPLUS

DOCUMENT NUMBER: 80:108438

TITLE: Synthesis of Δ^2 -pyrazolines and 1-naphthols

AUTHOR(S): Fateen, Abdel K.; Ali, Morsy M.

CORPORATE SOURCE: Fac. Sci., Ain Shams Univ., Cairo, Egypt

SOURCE: Egyptian Journal of Chemistry (1972), 15(4), 329-36

CODEN: EGJCA3; ISSN: 0449-2285

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 12 May 1984

GI For diagram(s), see printed CA Issue.

AB The naphthopyrazolines I (R = Ph, p-MeOC₆H₄; R₁ = H, Me; R₂ = Me, Ph; R₃ = Ac, Ph) were prepared by reaction of the tetralones II with PhNHNH₂ or H₂NNH₂ in HOAc. The naphthols III (R = Ph, p-MeOC₆H₄; R₁ = H, Me; R₂ = morpholino, piperidino) were prepared by bromination of II followed by reaction with amines.

CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 27

IT 52159-73-6P 52159-74-7P 52159-75-8P 52159-76-9P 52159-77-0P

52159-78-1P 52159-79-2P 52159-80-5P 52159-81-6P 52159-82-7P

Robert Shiao 10/757,581

52159-83-8P 52159-84-9P 52159-85-0P 52159-86-1P

52159-87-2P 52213-71-5P

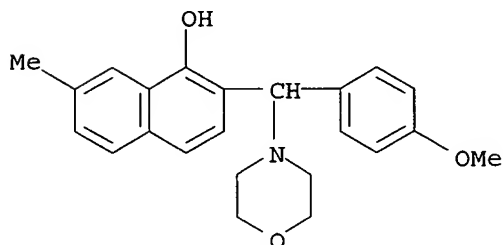
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

IT 52159-83-8P 52159-86-1P 52159-87-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

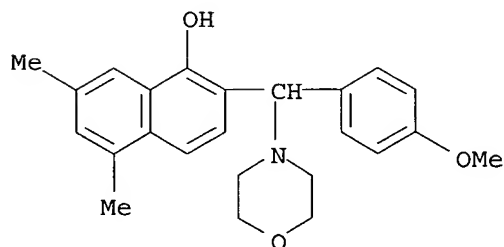
RN 52159-83-8 CAPLUS

CN 1-Naphthalenol, 2-[(4-methoxyphenyl)-4-morpholinylmethyl]-7-methyl- (9CI)
(CA INDEX NAME)



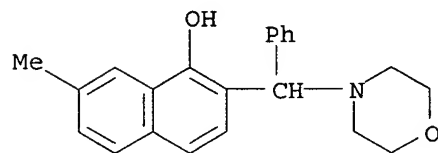
RN 52159-86-1 CAPLUS

CN 1-Naphthalenol, 2-[(4-methoxyphenyl)-4-morpholinylmethyl]-5,7-dimethyl-
(9CI) (CA INDEX NAME)



RN 52159-87-2 CAPLUS

CN 1-Naphthalenol, 7-methyl-2-(4-morpholinylphenylmethyl)- (9CI) (CA INDEX
NAME)



L12 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1970:31500 CAPLUS

DOCUMENT NUMBER: 72:31500

TITLE: Synthesis of 2,3-arenophenalenium cations. A new
synthetic use for Mannich bases

AUTHOR(S): Seshadri, S.; Cherian, A. L.; Pandit, P. Y.

CORPORATE SOURCE: Dep. Chem. Technol., Univ. Bombay, Bombay, India

Robert Shiao 10/757,581

SOURCE:

Indian Journal of Chemistry (1969), 7(11), 1080-3
CODEN: IJOCAP; ISSN: 0019-5103

DOCUMENT TYPE:

Journal

LANGUAGE:

English

ED Entered STN: 12 May 1984

GI For diagram(s), see printed CA Issue.

AB A synthesis of 2,3-arenophenalenium perchlorates (e.g. I), based on a novel reaction of Mannich bases derived from β -naphthol by condensation with an aromatic aldehyde and morpholine, is given. The O-Me derivs. of the Mannich bases are subjected to a cyclo-dehydrogenation reaction to give the desired phenalenium derivs. in good yields. The absorption spectra of the new compds. are discussed.

CC 26 (Condensed Aromatic Compounds)

IT 24684-93-3P 24684-94-4P 24684-95-5P 24684-96-6P 24684-97-7P
24684-98-8P 24684-99-9P 24685-00-5P 24685-01-6P 24685-02-7P
24685-03-8P 24685-04-9P 24685-05-0P
24685-06-1P 24685-07-2P 24685-08-3P
24736-35-4P 24736-36-5P 27130-72-9P 27130-73-0P
27130-74-1P

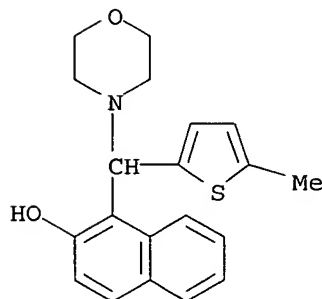
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

IT 24685-03-8P 24685-04-9P 24685-05-0P
24685-06-1P 24685-07-2P 24685-08-3P
24736-36-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

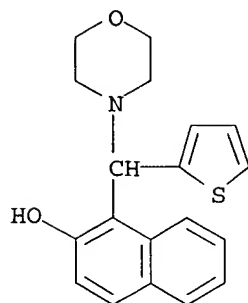
RN 24685-03-8 CAPLUS

CN 2-Naphthol, 1-(5-methyl- α -morpholino-2-thenyl)- (8CI) (CA INDEX NAME)

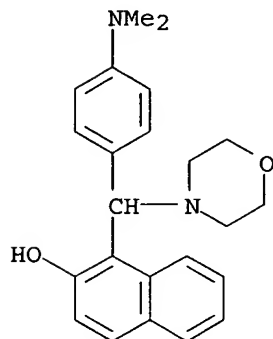


RN 24685-04-9 CAPLUS

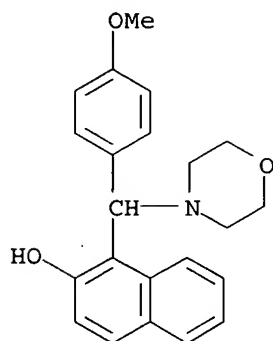
CN 2-Naphthalenol, 1-(4-morpholinyl-2-thienylmethyl)- (9CI) (CA INDEX NAME)



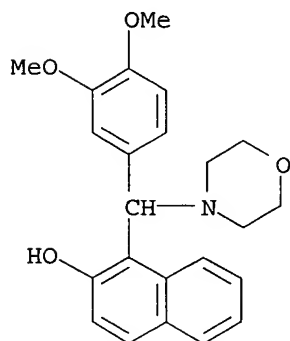
RN 24685-05-0 CAPLUS
CN 2-Naphthol, 1-[p-(dimethylamino)- α -morpholinobenzyl]- (8CI) (CA INDEX NAME)



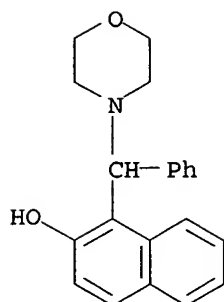
RN 24685-06-1 CAPLUS
CN 2-Naphthol, 1-(p-methoxy- α -morpholinobenzyl)- (8CI) (CA INDEX NAME)



RN 24685-07-2 CAPLUS
CN 2-Naphthol, 1-(α -morpholinoveratryl)- (8CI) (CA INDEX NAME)

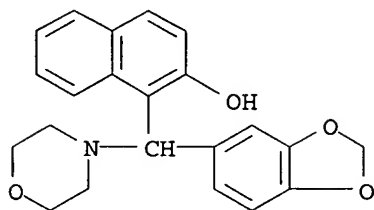


RN 24685-08-3 CAPLUS
CN 2-Naphthalenol, 1-(4-morpholinylphenylmethyl)- (9CI) (CA INDEX NAME)



RN 24736-36-5 CAPLUS

CN 2-Naphthol, 1-(α -morpholinopiperonyl)- (8CI) (CA INDEX NAME)



L12 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1964:52739 CAPLUS

DOCUMENT NUMBER: 60:52739

ORIGINAL REFERENCE NO.: 60:9273a-e

TITLE: The chemistry of glyoxylic acid. II. Mannich condensations with glyoxylic acid

AUTHOR(S): Biekert, Ernst; Funck, Theodor

CORPORATE SOURCE: Max-Planck-Inst. Biochem., Munich, Germany

SOURCE: Ber. (1964), 97(2), 363-71

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

OTHER SOURCE(S): CASREACT 60:52739

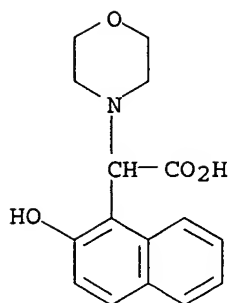
ED Entered STN: 22 Apr 2001

GI For diagram(s), see printed CA Issue.

AB cf. CA 54, 15390b. Condensation of OHCCO₂H with antipyrine (I) and secondary amines in dioxane yielded the corresponding crystalline dl-antipyrinyl(dialkylamino)acetic acids. Antipyrinyl(dibenzylamino)acetic acid (II) was debenzylated to dl-antipyrinylglycine (III). Mannich condensation of OHCCO₂H yielding, in general, N,N-dialkyl- α -amino acids was extended to other CH-active components. OHCCO₂Na (IV) and 2N H₂SO₄-Et₂O in dry dioxane stirred 1 hr. and treated successively with morpholine (V) in dioxane and I in dioxane yielded 61% antipyrinyl(morpholino)acetic acid. Similarly was prepared 81% antipyrinyl(piperidino)acetic acid, m. 145-8° (decomposition), and II.H₂O, decompose 195° (CHCl₃-petr. ether) [picrate m. 153° (decomposition) (10:7 CHCl₃-EtOH)]. II in MeOH hydrogenated over 17% Pd-C yielded 97% III, decompose 156° (80% EtOH); HCl salt decompose 196°. IV with V and indole yielded 47% 3-indolyl(morpholino)acetic acid-0.5H₂O (VI.0.5H₂O), decompose 211°; VI.HCl decompose 130-40°. IV with V and Me₂CO gave 74% 2-morpholino-4-oxovaleric acid. AcCH:CHCO₂H and V in C₆H₆ kept 1 day at 0° yielded 77% 2-morpholino-3-acetylpropionic acid, decompose 136°. IV with V and AcPh yielded 29%

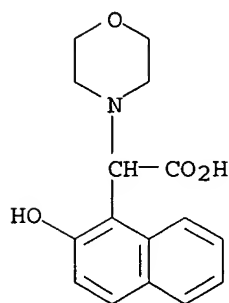
2-morpholino-3-benzoylpropionic acid (VII), decompose 166° (absolute EtOH); HCl salt m. 132° (EtOH-Et₂O). (HO)C₂H₄CO₂H (VIII), V, and o-MeOC₆H₄OH in EtOH refluxed 1 hr. yielded 33% (crude) IX. 0.5H₂O, decompose 170° (iso-PrOH). VIII with V and 2,5-Me₂C₆H₃OH yielded similarly 73% morpholino(2-hydroxy-3,5-dimethylphenyl)acetic acid (X), m. 149-51°, isolated as X.HCl, m. 151.5-53° (decomposition) (2N HCl). X with 2,4-Me₂C₆H₃OH (XI) in warm H₂O gave X.XI, m. 135-6° (H₂O). IV treated with V and 2-C₁₀H₇OH in dioxane, and the product treated at 60° with HCl-Et₂O yielded morpholino(2-hydroxy-1-naphthyl)acetic acid (XII), light brown powder, m. .apprx.124° (decomposition); HCl salt decompose 173-5° (2N HCl). VIII, V, and 2-C₁₀H₇OH in EtOH refluxed 1 hr. yielded 85-90% XII.HCl, decompose 169-70°. XII with Ac₂O and NaOAc gave XIII, decompose 184° (MeOH); HCl salt m. 185-6°. 2-HOC₁₀H₆CH₂CO₂H heated at 160°/13 mm. yielded the lactone, m. 104°.

- CC 38 (Heterocyclic Compounds (More Than One Hetero Atom))
- IT 21813-44-5, 4-Morpholineacetic acid, α -phenacyl-, hydrochloride
 21813-61-6, 4-Morpholineacetic acid, α -phenacyl- 29001-59-0,
 3-Pyrazoline-4-acetic acid, α -amino-2,3-dimethyl-5-oxo-1-phenyl-
 90609-33-9, 4-Morpholineacetic acid, α -acetyl- 91240-50-5,
 Propionic acid, 3-[2-(dihydro-4-oxo-2-thioxo-2H-1,3-thiazin-3(4H)-
 yl)ethoxy]- 92256-13-8, Indole-3-acetic acid, α -morpholino-
 92502-01-7, 4-Morpholineacetic acid, α -(2-hydroxy-3,5-xylyl)-,
 hydrochloride 92502-02-8, 4-Morpholineacetic acid, α -(2-hydroxy-
 3,5-xylyl)- 92965-90-7, 2-Pyrazoline, 5-(2-furyl)-4-methyl-1-phenyl-3-
 vinyl- 93648-89-6, 2-Pyrazoline, 4-methyl-5-(5-methyl-2-furyl)-1-phenyl-
 3-vinyl- 94299-12-4, 4-Morpholineacetic acid, α -(2-hydroxy-1-
 naphthyl)-, γ -lactone, hydrochloride 94299-12-4,
 Naphtho[2,1-b]furan-2(1H)-one, 1-morpholino-, hydrochloride 94299-13-5,
 Naphtho[2,1-b]furan-2(1H)-one, 1-morpholino- 94299-13-5,
 4-Morpholineacetic acid, α -(2-hydroxy-1-naphthyl)-, γ -lactone
94460-90-9, 4-Morpholineacetic acid, α -(2-hydroxy-1-
 naphthyl)-, hydrochloride **94460-91-0**, 4-Morpholineacetic acid,
 α -(2-hydroxy-1-naphthyl)- 94892-55-4, Indole-3-acetic acid,
 α -morpholino-, hydrochloride 96075-78-4, 3-Pyrazoline-4-acetic
 acid, α -(dibenzylamino)-2,3-dimethyl-5-oxo-1-phenyl- 96677-32-6,
 3-Pyrazoline-4-acetic acid, α -(dibenzylamino)-2,3-dimethyl-5-oxo-1-
 phenyl-, picrate 96730-41-5, 3-Pyrazoline-4-acetic acid,
 α -amino-2,3-dimethyl-5-oxo-1-phenyl-, hydrochloride 100323-82-8,
 4-Morpholineacetic acid, α -(2-hydroxy-3,5-xylyl)-, compound with
 2,4-xyleneol 100323-82-8, 2,4-Xyleneol, compound with α -(2-hydroxy-3,5-
 xylyl)-4-morpholineacetic acid
 (preparation of)
- IT **94460-90-9**, 4-Morpholineacetic acid, α -(2-hydroxy-1-
 naphthyl)-, hydrochloride **94460-91-0**, 4-Morpholineacetic acid,
 α -(2-hydroxy-1-naphthyl)-
 (preparation of)
- RN 94460-90-9 CAPLUS
- CN 4-Morpholineacetic acid, α -(2-hydroxy-1-naphthyl)-, hydrochloride
 (7CI) (CA INDEX NAME)



● HCl

RN 94460-91-0 CAPLUS
CN 4-Morpholineacetic acid, α -(2-hydroxy-1-naphthyl)- (7CI) (CA INDEX NAME)



L12 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1959:7062 CAPLUS
DOCUMENT NUMBER: 53:7062
ORIGINAL REFERENCE NO.: 53:1346c-i,1347a-f
TITLE: Benzacridines. I. Syntheses and reactions of 5,6-dihydrobenz[c]acridines
AUTHOR(S): Bell, Vernon L.; Cromwell, Norman H.
CORPORATE SOURCE: Univ. of Nebraska, Lincoln
SOURCE: Journal of Organic Chemistry (1958), 23, 789-93
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 53:7062
ED Entered STN: 22 Apr 2001
AB A convenient synthesis was developed to prepare a number of substituted dihydrobenz[c]acridines and benz[c]acridines. 5,6-Dimethylbenz[c]acridine (I) was obtained through a Wagner-Meerwein rearrangement brought about by an α -elimination of HBr from 6-bromo-5,5-dimethyl-5,6-dihydrobenz[c]acridine (II). The ultraviolet absorption spectra of these new compds. are reported and compared. 4 - Methyl - 4 - phenylpentanethiomorpholide (246 g.) refluxed 48 hrs. with 1.5l. concentrated HCl, cooled, extracted with 1 l. C6H6, the organic layer extracted with 25% NaOH, the

alkaline solution acidified, and the aqueous mixture extracted with C₆H₆ and concentrated gave

163.7 g. 4-methyl-4-phenylpentanoic acid (III), b_{0.8} 140-1°. III (172 g.) heated to 65°, added in one lot to 500 g. polyphosphoric acid at 90°, the mixture stirred 3 min., placed on the steam bath, and 300 g. more polyphosphoric acid added, the mixture stirred 25 min. at 90°, cooled, poured into ice H₂O, extracted with Et₂O, washed with H₂O, 5% NaOH, H₂O, 3% AcOH, and H₂O, and distilled gave 136.9 g. 4,4-dimethyl derivative of 1-tetralone (IV), b₂ 125-31°. IV (29 g.) in 170 ml. AcOH and 25 g. o-O₂NC₆H₄CHO left 3 days at room temperature with 25 ml. 95% H₂SO₄ gave 48 g. 4,4-dimethyl-2-(o-nitrobenzal) derivative of 1-tetralone (V), m. 188-9° (AcOH). V (48 g.) dissolved while heating in 800 ml. AcOH and 80 ml. H₂O and treated hot with 20 g. Fe powder portionwise, the solution heated 15 min. after the evolution of H ceased, poured into ice H₂O, treated with 1.8 l. 33% KOH, and left overnight gave 36 g. 4,4-dimethyl-2-(o-aminobenzal) derivative of 1-tetralone (VI), m. 135-7° (alc.). Treatment of VI with picric acid gave 5,5-dimethyl-5,6-dihydrobenz[c]acridine (VII) picrate, m. 202-3°. VI in 95% alc. and 50 ml. concentrated HCl evaporated to dryness, the residue redissolved in 95% alc., treated with C, and filtered, the solution neutralized with 5% NaHCO₃ and cooled, and the product collected gave 33.6 g. free VII, m. 112-13° (aqueous Me₂CO); picrate, identical with the above prepared specimen. VII was also obtained by ring closure of VI by irradiation with ultraviolet light for 30 hrs. in 95% alc. IV (6 g.), 5.05 g. isatin, 6.5 g. KOH, 10 ml. MeOH, and 6.5 ml. H₂O refluxed 8 hrs., the basic solution diluted with H₂O, extracted with Et₂O, and the

alkaline solution

acidified gave 6.5 g. 7-carboxy-5,5-dimethyl-5,6-dihydrobenz[c]acridine (VIII), m. 256.5-7.0° (dioxane.). VIII (7.6 g.) melted in a small flask at 260° for 2 hrs. until evolution of CO₂ ceased, cooled, triturated with 10% KOH, extracted with Et₂O, and evaporated gave 6.1 g. VII.

VII

(19.7 g.) in 250 ml. CCl₄ refluxed 3 hrs. with 13.5 g. N-bromosuccinimide and 0.25 g. Bz₂O₂, cooled, filtered, and extracted with 200 ml. 5% NaHCO₃, then with 300 ml. H₂O, and the CCl₄ layer evaporated gave 21 g. 6-bromo-5,5-dimethyl-5,6-dihydrobenz[c]acridine (IX), m. 145-7°. IX (0.85 g.) in 20 ml. alc. heated 1 hr. gave 0.70 g. 5,5-dimethyl-6-ethoxy-5,6-dihydrobenz[c]acridine (X), m. 96-7° (alc.); picrate, m. 197-8° (decomposition). IX (2 g.) in 25 ml. dioxane heated 1 hr. on the steam bath with 10 ml. 10% NaOH, gave 1.25 g. 5,5-dimethyl-6-hydroxy-5,6-dihydrobenz[c]acridine (XI), m. 159-60°; picrate, softened at 215° but did not melt up to 250°. IX (1 g.) in 20 ml. MeOH refluxed 3 hrs. gave 0.8 g. 5,5-dimethyl-6-methoxy-5,6-dihydrobenz[c]acridine (XII), m. 152.5-4.0° (aqueous MeOH). IX (3 g.) and 15 ml. morpholine refluxed 24 hrs., solution cooled, poured into H₂O, the solid collected, and recrystd. gave 2.6 g. 5,5-dimethyl-6-morpholino-5,6-dihydrobenz[c]acridine (XIII), m. 159-61° (alc.). IX (5 g.) and 15 ml. anhydrous Me₂NH heated 8 hrs. at 100° in a sealed tube gave 3 g. 5,5-dimethyl-6-dimethylamino-5,6-dihydrobenz[c]acridine (XIV), m. 93-5° (alc.). IX (2 g.) on heating melted to a light yellow liquid which turned to a bright red solid at 160°. Heating was continued 10 min. at 170°. The residue dissolved in warm, aqueous dioxane, neutralized, and cooled gave 1.1 g. I, m. 162-3° (Me₂CO); picrate, m. 253-4° (decomposition). o-O₂NC₆H₄CHO (15 g.) dissolved in 150 ml. AcOH and 30 g. 95% H₂SO₄ added with cooling, the mixture left 72 hrs. at room temperature with 14.6 g. α-tetralone, and the crude product collected and crystallized gave 20.9 g. 2-(o-nitrobenzal) derivative of 1-tetralone (XV), m. 121-2° (AcOH). XV (5.8 g.) in 40 ml. AcOH and 20 ml. H₂O heated to 70° and treated portion-wise with 2.5 g. Fe powder, heating continued 45 min., the solution poured on ice and H₂O, 150

ml. 33% KOH added, the mixture left overnight, the solid material collected, extracted with 200 ml. absolute alc., and H₂O added gave 3.3 g. 2-(o-amino-benzal) derivative of 1-tetralone (XVI), m. 123-4°. XVI treated with picric acid gave the picrate of 5,6-dihydrobenz[c]acridine (XVII), m. 206°. Ring closure of XVI was effected by evaporating a HCl-containing 95% alc. solution of 3.3 g. of XVI to dryness. The HCl salt dissolved in aqueous alc., treated with C, and neutralized with 5% NaHCO₃, and H₂O added gave 2.6 g. free XVII, m. 65°. The over-all yield of XVII from XV was 54%. XVII was also prepared in 88% yield using the method of Braun and Wolff (C.A. 17, 1227). The crude product m. 59-60°; treatment of an alc. solution of the HCl salt with C and neutralization with Na₂CO₃ gave pure XVII. 7-Carboxy-5,6-dihydrobenz[c]acridine (XVIII), prepared in 83% yield by the Pfitzinger-Borsche reaction, m. 250°. o-O₂NC₆H₄CHO (20 g.), 19.3 g. α-naphthol, and 12.7 g. morpholine in 17 ml. alc. left 24 hrs. under N and cooled gave 25 g. 2-(α-morpholino-o-nitrobenzyl)-1-naphthol (XIX), m. 128-9.5° (alc.). XVII was dehydrogenated to 60% benz[c]acridine (XX), m. 107-8°; picrate, m. 249°. XIX (5.5 g.) treated at 70° in 35 ml. AcOH and 15 ml. H₂O with 1.9 g. reduced Fe powder, the mixture heated an addnl. 0.5 hr. on the steam bath, poured into 200 g. ice and H₂O, treated with 100 ml. 33% KOH, and left overnight, the solid precipitate collected and extracted with

200 ml.

hot alc., the alc. solution evaporated to dryness, the residue extracted with

1:1

HCl, and the acidic solution treated with C, neutralized, and recrystd. gave 10% XX, which with its picrate was identical with the above prepared specimen. The ultraviolet spectra were given for I, VI, VII, VIII, IX, X, XI, XII, XIII, XIV, XV, XVI, XVII, XVIII, and XIX. The spectra of the unsubstituted and substituted dihydrobenzacridines are quite identical, differing only slightly in both wave length and ε. The notable exception was IX, which has only a single high intensity absorption band at 220-330 mμ range and a different longer wave length fine structure than that found for other dihydrobenzacridines.

CC 10G (Organic Chemistry: Heterocyclic Compounds)

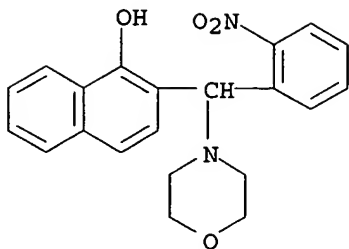
IT 83-93-2, Benz[c]acridine-7-carboxylic acid, 5,6-dihydro- 2422-78-8, Benz[c]acridine, 5,6-dimethyl- 2979-69-3, 1(2H)-Naphthalenone, 3,4-dihydro-4,4-dimethyl- 4408-55-3, Valeric acid, 4-methyl-4-phenyl- 5443-59-4, Benz[c]acridine, 5,6-dihydro-5,5-dimethyl- 5443-63-0, Benz[c]acridine-7-carboxylic acid, 5,6-dihydro-5,5-dimethyl- 5443-68-5, Benz[c]acridine, 5,6-dihydro-5,5-dimethyl-6-morpholino- 6628-55-3, 1-Naphthol, 2-(α-morpholino-o-nitrobenzyl)- 6639-68-5, Benz[c]acridine, 6-bromo-5,6-dihydro-5,5-dimethyl- 6971-13-7, Benz[c]acridine, 6-dimethylamino-5,6-dihydro-5,5-dimethyl- 56969-63-2, 1(2H)-Naphthalenone, 3,4-dihydro-4,4-dimethyl-2-o-nitrobenzylidene- 101441-66-1, 1(2H)-Naphthalenone, 2-(o-aminobenzylidene)-3,4-dihydro- 102893-75-4, Benz[c]acridine, 5,6-dihydro-5,5-dimethyl-, picrate 102893-78-7, Benz[c]acridin-6-ol, 5,6-dihydro-5,6-dimethyl- 102893-79-8, Benz[c]acridin-6-ol, 5,6-dihydro-5,6-dimethyl-, picrate 103158-32-3, Benz[c]acridine, 6-ethoxy-5,6-dihydro-5,5-dimethyl- 103158-33-4, Benz[c]acridine, 6-ethoxy-5,6-dihydro-5,5-dimethyl-, picrate 109091-35-2, 1(2H)-Naphthalenone, 3,4-dihydro-2-o-nitrobenzylidene- 109556-40-3, 1(2H)-Naphthalenone, 2-(o-aminobenzylidene)-3,4-dihydro-4,4-dimethyl- 110149-06-9, Benz[c]acridine, 5,6-dihydro-6-methoxy-5,5-dimethyl- 114999-65-4, Benz[c]acridine, 5,6-dimethyl-, picrate (preparation of)

IT 6628-55-3, 1-Naphthol, 2-(α-morpholino-o-nitrobenzyl)- (preparation of)

RN 6628-55-3 CAPLUS

CN 1-Naphthalenol, 2-[4-morpholinyl(2-nitrophenyl)methyl]- (9CI) (CA INDEX

NAME)



L12 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1957:34766 CAPLUS

DOCUMENT NUMBER: 51:34766

ORIGINAL REFERENCE NO.: 51:6575d-i,6576a-d

TITLE: The chemistry of derivatives of 2-benzaltetralone. I. A novel rearrangement leading to 2-substituted-1-naphthols

AUTHOR(S): Hassner, Alfred; Cromwell, Norman H.; Davis, Stanley J.

CORPORATE SOURCE: Univ. of Nebraska, Lincoln

SOURCE: Journal of the American Chemical Society (1957), 79, 230-4

CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

ED Entered STN: 22 Apr 2001

AB 1-Tetralone treated with BzH and 4% alc. KOH yielded 88% 2-benzaltetralone (I), m. 107°; the Ph and C:O groups are in trans position. I treated with alkali and 30% H2O2 in MeOH yielded 93% I oxide, m. 77-7.5° (from MeOH). I (1 g.) in 20 g. 28% HBr in glacial AcOH and 8 cc. Ac2O kept 17 hrs. at room temperature gave 85% recovered I. I (1 g.) in 26 g. glacial AcOH, 16 cc. Ac2O, and 1.7 g. H2SO4 kept 17 hrs. at room temperature gave also 85% recovered I. I (1 g.) in 15 cc. Ac2O containing 12 drops

concentrated H2SO4 kept 6 days at room temperature gave 65% recovered I and a small

amount of unidentified, red, gummy material. I (1 g.) in 12 cc. 10% NaOMe in MeOH refluxed 5 hrs. gave 85% recovered I. I heated 10-45 min. (or kept 1 day) with morpholine or piperidine gave 80-90% recovered I. Br (4.2 cc.) in 10 cc. CCl4 added with stirring to 18.4 g. I in 150 cc. CCl4 during 1 hr. gave 77% 2-bromo-2-(α -bromobenzyl)-1-tetralone (II), m. 153-4° (decomposition) (from ligroine, b. 90-100°); the residue from the filtrate gave in 1 case a small amount of solid, m. 109-9.5°, which converted to II on standing, in another case a different form of II, m. 118-19°. II (2 g.) and 10 equivs. of the appropriate liquid amine kept 8-24 hrs. under N at room temperature, the mixture

diluted with iso-Pr2O and filtered, the filtrate washed with dilute HCl and H2O and evaporated, and the liquid residue poured into cold H2O gave the corresponding 2-[α -(N-substituted-amino)benzyl]-1-naphthol (III), which was recrystd. from EtOH or ligroine (b. 60-70°) (m.p., % yield, and reaction time given): N-cyclohexyl derivative (IV), 98-100° (from ligroine, b. 60-80°), 80 (it gave tars when heated in EtOH in air), 8 hrs.; N-Me derivative (V) of IV, 140-1° (from ligroine), 91, 6 days; 2-(α -piperidinobenzyl)-1-naphthol (VI), 108-9°, 100, 8

hrs.; 2-(α -morpholinobenzyl)-1-naphthol (VII), 139° (from EtOH and ligroine), 100, 20 hrs. (HCl salt, m. 158-9°). II (1 g.) kept 1 hr. at 25° with a 10-fold excess of piperidine and filtered gave 100% piperidine-HBr; cyclohexylamine gave similarly 95% amine salt, while N-methylcyclohexylamine gave only 10% salt. II and PhNH₂ heated 20 min. to 50° and then allowed to stand 2.5 days gave only 4% PhNH₂.HBr. 1-C₁₀H₇OH (0.02 mole) in 2/3 its weight of absolute EtOH treated with 1 equivalent each of BzH and the appropriate amine and the mixture kept 1-4 days in the dark under N and seeded gave the corresponding III (m.p., % yield, and reaction time given): IV, 99-100°, 38, 1 day; V, 139.5-41°, 36, 6 hrs.; VI, 109-10°, 50, 4 days; VI, 101-3°, 50, 15 min. (at 100° and then allowed to stand 2 hrs.); VII, 131-3°, 75, 20 hrs. (at room temperature and 1.5 days in the cold); 2-[α -(N-phenylamino)benzyl]-1-naphthol, 138.5-9.5° (from EtOH or C₆H₆-ligroine), 85, 1 day. II (2 g.) and 7 g. 1-methylmorpholine allowed to stand 2 days gave 70% recovered II; a similar run in hot C₆H₆ gave 30-50% of the amine salt, but no definite product. II refluxed with collidine gave only tars. II allowed to stand with Et₃N was recovered unchanged. II treated 3.5 days with 4-picoline at room temperature gave 15% I and largely low-melting polymeric material. II refluxed 6 hrs. with 1 equivalent KOAc in EtOH gave 67% unchanged II. II (1 g.) refluxed 4 hrs. in C₆H₆ or Me₂CO with 3 equivs. cyclohexylamine gave 30-50% crude I. I (4 g.) in 150 cc. absolute EtOH hydrogenated 20 min. at 55° and 44 lb. pressure over 0.25 g. PtO₂ gave 3.6 g. 2-benzyl-1-tetralone (VIII), colorless solid, m. 53-4° (from petr. ether). Br (4.2 g.) in 5 cc. CHCl₃ added slowly to 6.2 g. VIII in 20 cc. CHCl₃ (initially at room temperature, then with cooling) and the solution evaporated after 3 hrs. yielded 92% 2-Br derivative (IX) of VIII, white solid, m. 82-2.5°. IX (1 g.) allowed to stand 10 min. with 3 equivs. morpholine, heated 2 min. on the steam bath, diluted with iso-Pr₂O, and filtered yielded 96% amine-HBr; the filtrate yielded 60% 2-PhCH₂C₁₀H₆OH (X), m. 73-4° (from ligroine). 1-C₁₀H₇OH in PhMe treated with Na and PhCH₂Cl gave X, white crystals, m. 74-4.5° (from ligroine); it produced gradually a red coloration with the formation of a golden glimmering precipitate when treated with aqueous FeCl₃ in EtOH. A similar run with N-methylmorpholine gave only 10% HBr salt; 80% X was recovered unchanged.

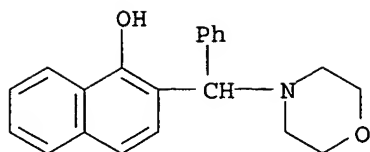
CC 10 (Organic Chemistry)

IT 13148-18-0, Spiro[naphthalene-2(1H),2'-oxiran]-1-one, 3,4-dihydro-3'-phenyl- 27019-08-5, 1(2H)-Naphthalenone, 2-benzyl-3,4-dihydro-36441-32-4, 1-Naphthol, 2-benzyl- 78364-65-5, 1(2H)-Naphthalenone, 2-bromo-2- α -bromobenzyl-3,4-dihydro- 93322-94-2, 1(2H)-Naphthalenone, 2-benzyl-2-bromo-3,4-dihydro- 102474-88-4, 1-Naphthol, 2- α -morpholinobenzyl-, hydrochloride 102474-89-5, 1-Naphthol, 2- α -morpholinobenzyl- 102559-06-8, 1-Naphthol, 2-(α -cyclohexylaminobenzyl)- 102594-14-9, 1-Naphthol, 2-(α -anilinobenzyl)- 102756-54-7, 1-Naphthol, 2- α -piperidinobenzyl- 113751-75-0, 1-Naphthol, 2-[α -(cyclohexylmethylamino)benzyl]- (preparation of)

IT 102474-88-4, 1-Naphthol, 2- α -morpholinobenzyl-, hydrochloride 102474-89-5, 1-Naphthol, 2- α -morpholinobenzyl- (preparation of)

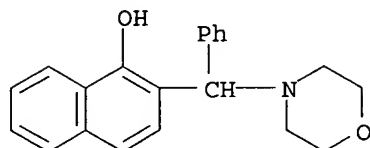
RN 102474-88-4 CAPLUS

CN 1-Naphthol, 2- α -morpholinobenzyl-, hydrochloride (6CI) (CA INDEX NAME)



● HCl

RN 102474-89-5 CAPLUS
CN 1-Naphthol, 2- α -morpholinobenzyl- (6CI) (CA INDEX NAME)



L12 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1949:10873 CAPLUS

DOCUMENT NUMBER: 43:10873

ORIGINAL REFERENCE NO.: 43:2183i,2184a-d

TITLE: Synthesis of substituted dinitrophenyl ketones and phenylacetic acids. III

AUTHOR(S): Sen, A. B.; Bhargava, P. M.

SOURCE: J. Indian Chem. Soc. (1948), 25, 282-4

DOCUMENT TYPE: Journal

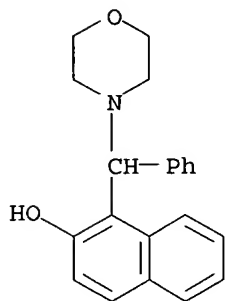
LANGUAGE: Unavailable

ED Entered STN: 22 Apr 2001

AB cf. C.A. 42, 5438c. To 36.8 g. 2,4-dinitrophenol in hot AcOH is added during 6 h. a powdered mixture of 40.8 g. iodine and 21.7 g. HgO, the whole stirred 1 h. longer, the liquid decanted, and water added, precipitating 87.1% 2,4-dinitro-6-iodophenol (I), m. 102° (from alc.). 1-Chloro-2,4-dinitro-6-iodobenzene (II) is prepared from I by the method of Sane and Joshi (C.A. 26, 4037). To the Na derivative from 20.8 g. AcCH₂CO₂Et and 3.7 g. Na in 40 cc. cold ether is added 26.4 g. II, the mixture refluxed 6 h., left overnight, extracted with about 300 cc. H₂O and then with an equal amount of 2% NaOH, and the Et α -(2,4-dinitro-6-iodophenyl)acetoacetate (III) liberated by acidification with dilute HNO₃ and crystallized from cold alc.; yield, 57.4%, m. 74°, dark reddish brown. To 5.8 g. crude III in 51 cc. concentrated H₂SO₄ is added 24 cc. water; after CO₂ stops coming off, the solution is poured onto ice, let stand in the refrigerator 2 days and filtered, giving 83.1% (2,4-dinitro-6-iodophenyl)acetone, dark needles, m. 110° (from EtOH); phenylhydrazone, red flakes, m. 74° (decomposition); oxime, fine needles, m. 75°. Crude III (3 g.), 15 cc. 20% alc. KOH, and 1 cc. H₂O are refluxed 0.5 h., the EtOH distilled off, and the residue acidified with dilute HCl; (2,4-dinitro-6-iodophenyl)acetic acid crystallizes slowly as a brown powder (yield, 2 g.), gives off iodine on heating, does not melt; N found 7.58, calculated 7.95%. Crude III (2 g.), 3 g. Fe powder, 0.3 g. FeSO₄, and 10 cc. H₂O are refluxed 3 h., then cooled in ice, and the residue filtered, extracted with

alc., and evaporated to give 73.6% 2-methyl-3-carbethoxy-4-iodo-6-aminoindole, a colorless solid which turns black on exposure to air, m. 135° (decomposition).

CC 10 (Organic Chemistry)
 IT 5342-95-0, 2-Naphthol, 1-piperidinomethyl- 6278-04-2, 2-Naphthol, 1- α -piperidinobenzyl- 15968-55-5, Phenol, 2-iodo-4,6-dinitro- 24685-08-3, 2-Naphthol, 1- α -morpholinobenzyl- 54437-68-2, Benzene, 2-chloro-1-iodo-3,5-dinitro- 412027-23-7, Acetic acid, (2-chloro-4,6-dinitrophenyl)- 412027-75-9, Acetoacetic acid, 2-(2-chloro-4,6-dinitrophenyl)-, ethyl ester 714252-15-0, Acetic acid, (2-iodo-4,6-dinitrophenyl)- 857559-69-4, Acetoacetic acid, 2-(2-iodo-4,6-dinitrophenyl)-, ethyl ester 857764-00-2, 3-Indolecarboxylic acid, 6-amino-4-iodo-2-methyl-, ethyl ester (preparation of)
 IT 24685-08-3, 2-Naphthol, 1- α -morpholinobenzyl- (preparation of)
 RN 24685-08-3 CAPLUS
 CN 2-Naphthalenol, 1-(4-morpholinylphenylmethyl)- (9CI) (CA INDEX NAME)



L12 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1949:10872 CAPLUS

DOCUMENT NUMBER: 43:10872

ORIGINAL REFERENCE NO.: 43:2183g-i

TITLE: Amine exchange reactions of Mannich bases

AUTHOR(S): Snyder, H. R.; Brewster, James H.

SOURCE: Journal of the American Chemical Society (1948), 70, 4230-2

CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

OTHER SOURCE(S): CASREACT 43:10872

ED Entered STN: 22 Apr 2001

AB PhCOCH₂CH₂NMe₂ (I) (n20D 1.5299, d204 1.017) (0.001 mol) and 0.05 mol morpholine (II), refluxed 2 h. in a N atmospheric, give 78% β -4-morpholinylpropiophenone-HCl (III); the HCl salt of I likewise gives 78% of III and I. MeI yields 81%; these derivs. reacted only a little more rapidly with II than did I. The free base from III has n20D 1.5433, d204 1.103. 1,2-Me₂NCH₂C₁₀H₆OH and excess II give 83.5% of the 1-(4-morpholinylmethyl) compound (IV) and excess piperidine (V) gives 92% of the 1-piperidylmethyl compound (VI); IV and V give 50% VI, and VI and II give a small yield of IV. 1,2-PhCH(NH₂)C₁₀H₆OH likewise yields 87.5% of the 1-(α -4-morpholinylbenzyl) compound, m. 176.5-7°, and both compds. react with V to give the 1-(α -1-piperidylbenzyl) compound

CC 10 (Organic Chemistry)

IT 1020-16-2, Propiophenone, 3-morpholino-, hydrochloride 2298-48-8,

Robert Shiao 10/757,581

Propiophenone, 3-morpholino- 5342-95-0, 2-Naphthol, 1-piperidinomethyl-
6278-04-2, 2-Naphthol, 1- α -piperidinobenzyl- 6278-04-2,
Piperidine, 1-[α -(2-hydroxy-1-naphthyl)benzyl]- 24685-08-3
, Morpholine, 4-[α -(2-hydroxy-1-naphthyl)benzyl]- 24685-08-3
, 2-Naphthol, 1- α -morpholinobenzyl- 27438-39-7, 2-Naphthol,
1-morpholinomethyl- 27438-39-7, Morpholine, 4-[(2-hydroxy-1-
naphthyl)methyl]-

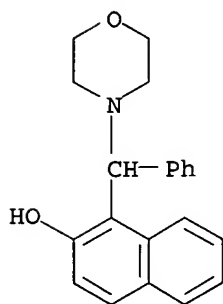
(preparation of)

IT 24685-08-3, Morpholine, 4-[α -(2-hydroxy-1-naphthyl)benzyl]-

(preparation of)

RN 24685-08-3 CAPLUS

CN 2-Naphthalenol, 1-(4-morpholinylphenylmethyl)- (9CI) (CA INDEX NAME)



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